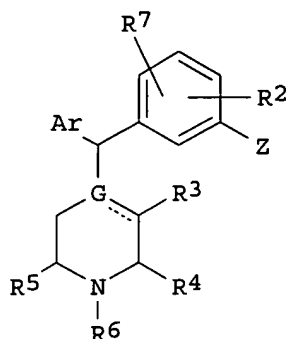


L7 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
GI



I

AB A method of reducing, treating or preventing drug-mediated respiratory depression, muscle rigidity, or nausea/vomiting in an animal, incident to the administration of a mixed delta/mu opioid agonist or a respiratory depression-mediating drug, comprising administering to the animal an effective amount of a delta receptor agonist compound. The effect of the pos. isomer of the delta agonist BW373U86 is shown on analgesia and respiratory depression induced by the mu agonist, alfenta. The delta agonist compound may comprise I (Ar = substituted 5- or 6-member carbocyclic aromatic ring; G = C, N; Z = OH, esters, hydroxymethyl, amino, etc.; R2 = H, halo, C1-C4 alkyl, C2-C4 alkenyl, C1-C4 alkynyl; R3, R4, R5 = H, Me, or C1-C3 bridge; R6 = H, C1-C6 alkyl, arylalkyl aminocarbonylalkyl, etc.; and R7 = H, F) or a pharmaceutically acceptable ester or salt of I.

AN 1999:48601 CAPLUS

DN 130:119605

TI Delta receptor agonists in compositions and methods for reducing respiratory depression and attendant side effects of mu opioid compounds
IN Chang, Kwen-Jen; McNutt, Robert W., Jr.; Pettit, Hugh O.; Bishop, Michael J.

PA Delta Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 7

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PI	WO 9901033	A1	19990114	WO 1997-US17852	19971001
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AU 9748066	A1	19990125	AU 1997-48066		19971001
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EP 1003373	A1	20000531	EP 1997-910777		19971001
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AT 259226	E	20040215	AT 1997-910777		19971001
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PATENT FAMILY INFORMATION:

FAN 1994:483367

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PI	WO 9315062	A1	19930805	WO 1993-GB216	19930202
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AU 9334573	A1	19930901	AU 1993-34573		19930202
AU 675928	B2	19970227			
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			GB 1992-2238	A	19920203
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			GB 1992-2238	A	19920203
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ES 2197152	T3	20040101	ES 1993-914513		19930202
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US 5854249	A	19981229	US 1997-864667		19970528
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US 2002052007	A1	20020502	US 2001-957903		20010921
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FAN 1995:812775					
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CA 2168432	AA	19950209	CA 1994-2168432		19940729
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	JP 09501156	T2	19970204	JP 1995-505665	19940729
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	RU 2133744	C1	19990727	RU 1996-104351	19940729
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				US 1993-169879	A 19931217
				WO 1994-GB1641	W 19940729
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				US 1993-169879	A 19931217
	PT 711289	T	20010228	PT 1994-921756	19940729
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	TW 386081	B	20000401	TW 1994-83107072	19940802
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				US 1993-169879	A 19931217
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	US 5552404	A	19960903	US 1995-431377	19950428
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OS MARPAT 130:119605

IT 155767-34-3 219859-95-7

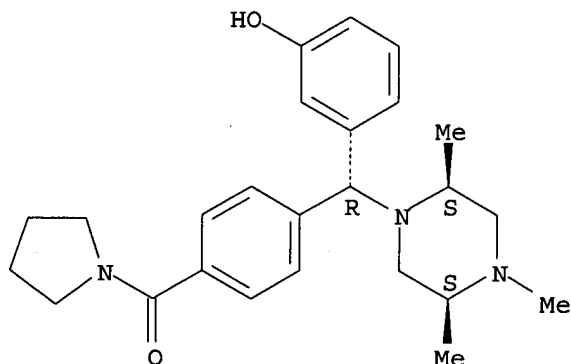
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(as delta receptor agonist; delta receptor agonists in compns. and methods for reducing respiratory depression and attendant side effects of mu opioid compds.)

RN 155767-34-3 CAPLUS

CN Pyrrolidine, 1-[4-[(R)-(3-hydroxyphenyl)[(2S,5S)-2,4,5-trimethyl-1-piperazinyl]methyl]benzoyl]- (9CI) (CA INDEX NAME)

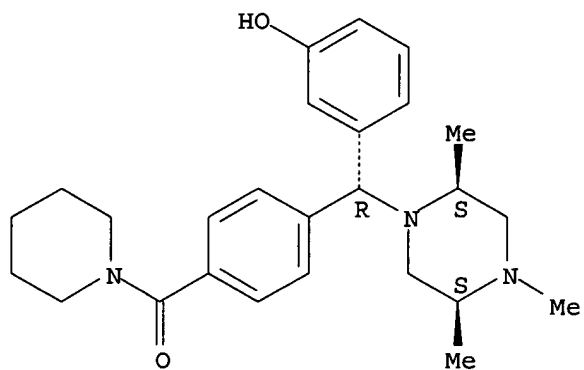
Absolute stereochemistry.



RN 219859-95-7 CAPLUS

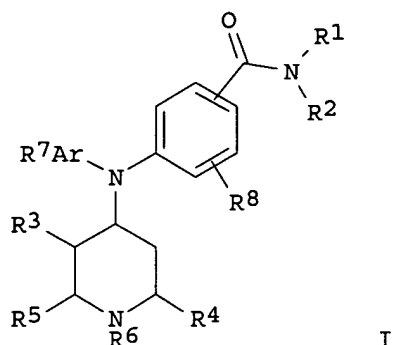
CN Piperidine, 1-[4-[(R)-(3-hydroxyphenyl)[(2S,5S)-2,4,5-trimethyl-1-piperazinyl]methyl]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI



AB Title compds. [I; Ar = Ph, naphthyl; R1, R2 = H, alkyl, (substituted) Ph, PhCH2; R1R2N = pyrrolidinyl, morpholinyl, piperidinyl, hexamethyleneiminyl; R3-R5 = H, alkyl; R6 = H, alkyl, cycloalkylalkyl, alkenyl, alkoxyalkyl, (substituted) thienylalkyl, furylalkyl, pyrrolylalkyl, oxazolylalkyl, etc.; R7 = 0-3 of OH, halo, alkyl, alkoxy, acyl, acyloxy, cyano, amino, acylamino, alkylthio, alkylsulfonyl, CF3, OCF3, etc.; R8 = 0-2 of halo, alkyl, alkoxy, CF3], were prepared Thus, N-(3-methoxyphenyl)-1-propyl-4-piperidinamine (preparation given), N,N-diethyl-4-bromobenzamide, tris(dibenzylideneacetone)dipalladium(0), (R)-(+)-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl and NaOCMe3 in PhMe were heated at 110° under Ar in a pressure vessel for 16 h to give 53% N,N-diethyl-4-[3-methoxyphenyl(1-propylpiperidin-4-yl)amino]benzamide fumarate (1:1). The latter gave 97% displacement of [3H]-bremazocine from δ -opioid receptors.

AN 2002:632801 CAPLUS

DN 137:169426

TI Preparation of 4-(diarylamino)piperidines as δ -opioid receptor agonists/antagonists.

IN Carson, John R.; Susan, Carmosin Richard J.; Fitzpatrick, Louis J.; Reitz, Allen B.; Jetter, Michele C.

PA Ortho-McNeil Pharmaceutical, Inc., USA

SO U.S., 13 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

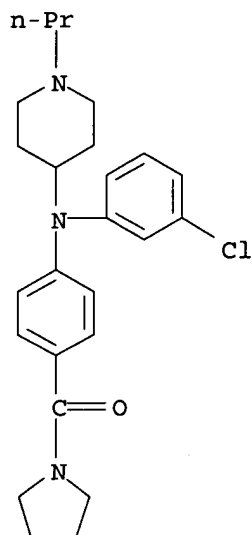
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IT	229478-95-9P 229478-99-3P 229479-04-3P 229479-05-4P 229479-06-5P 229479-12-3P 229479-13-4P 229479-14-5P 229479-19-0P 229479-21-4P 229479-22-5P 229479-27-0P 229479-28-1P 229479-29-2P 229479-34-9P 229479-35-0P 229479-37-2P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(diarylamino)piperidines as δ -opioid receptor agonists/antagonists)

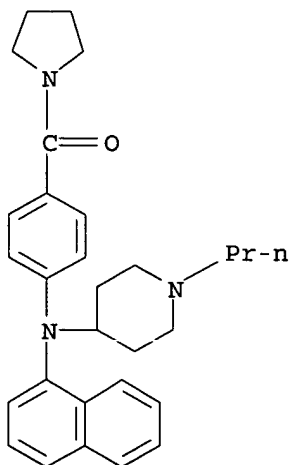
RN 229478-95-9 CAPLUS

CN Pyrrolidine, 1-[4-[(3-chlorophenyl)(1-propyl-4-piperidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME)



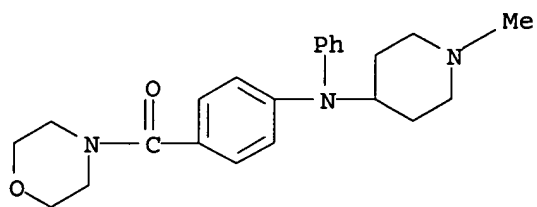
RN 229478-99-3 CAPLUS

CN Pyrrolidine, 1-[4-[1-naphthalenyl(1-propyl-4-piperidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME)



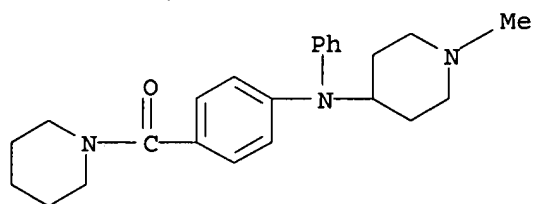
RN 229479-04-3 CAPLUS

CN Morpholine, 4-[4-[(1-methyl-4-piperidinyl)phenylamino]benzoyl]-(9CI) (CA INDEX NAME)



RN 229479-05-4 CAPLUS

CN Piperidine, 1-[4-[(1-methyl-4-piperidinyl)phenylamino]benzoyl] - (9CI) (CA
INDEX NAME)



RN 229479-06-5 CAPLUS

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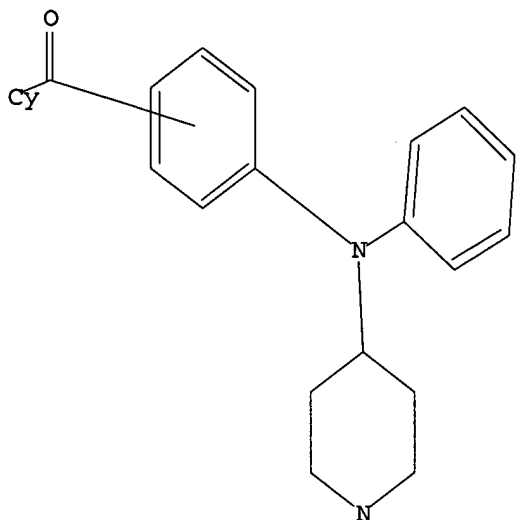
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L8 STRUCTURE UPLOADED

=> d l8

L8 HAS NO ANSWERS

L8 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l8

SAMPLE SEARCH INITIATED 16:52:54 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1106 TO ITERATE

100.0% PROCESSED 1106 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 20125 TO 24115

PROJECTED ANSWERS: 1 TO 80

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100.0% PROCESSED 21988 ITERATIONS

23 ANSWERS

SEARCH TIME: 00.00.01

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10730265

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FULL ESTIMATED COST	166.94	600.71
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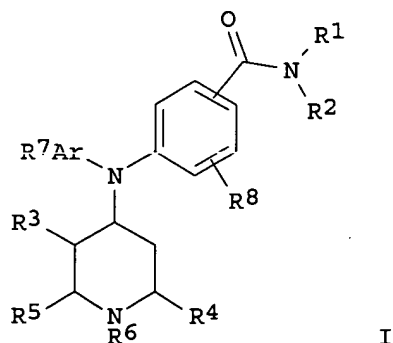
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=> s l10

L11 5 L10

=> d abs bib hitstr 1-5

L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI



AB Title compds. [I; Ar = Ph, naphthyl; R1, R2 = H, alkyl, (substituted) Ph,

PhCH₂; R₁R₂N = pyrrolidinyl, morpholinyl, piperidinyl, hexamethyleneiminyl; R₃-R₅ = H, alkyl; R₆ = H, alkyl, cycloalkylalkyl, alkenyl, alkoxyalkyl, (substituted) thienylalkyl, furylalkyl, pyrrolylalkyl, oxazolylalkyl, etc.; R₇ = 0-3 of OH, halo, alkyl, alkoxy, acyl, acyloxy, cyano, amino, acylamino, alkylthio, alkylsulfonyl, CF₃, OCF₃, etc.; R₈ = 0-2 of halo, alkyl, alkoxy, CF₃, were prepared Thus, N-(3-methoxyphenyl)-1-propyl-4-piperidinamine (preparation given), N,N-diethyl-4-bromobenzamide, tris(dibenzylideneacetone)dipalladium(0), (R)-(+)-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl and NaOCMe₃ in PhMe were heated at 110° under Ar in a pressure vessel for 16 h to give 53% N,N-diethyl-4-[3-methoxyphenyl(1-propylpiperidin-4-yl)amino]benzamide fumarate (1:1). The latter gave 97% displacement of [3H]-bremazocine from δ-opioid receptors.

AN 2002:632801 CAPLUS

DN 137:169426

TI Preparation of 4-(diarylamino)piperidines as δ-opioid receptor agonists/antagonists.

IN Carson, John R.; Susan, Carmosin Richard J.; Fitzpatrick, Louis J.; Reitz, Allen B.; Jetter, Michele C.

PA Ortho-McNeil Pharmaceutical, Inc., USA

SO U.S., 13 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PRAI	US 1998-220189		19981223		

OS MARPAT 137:169426

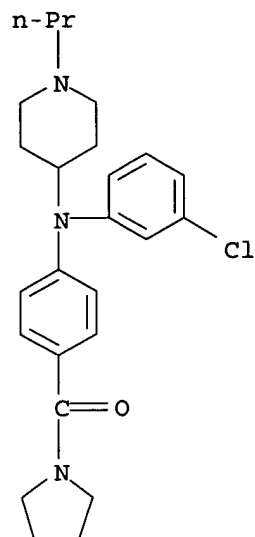
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 229479-28-1P 229479-29-2P 229479-34-9P
 229479-35-0P 229479-37-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(diarylamino)piperidines as δ-opioid receptor agonists/antagonists)

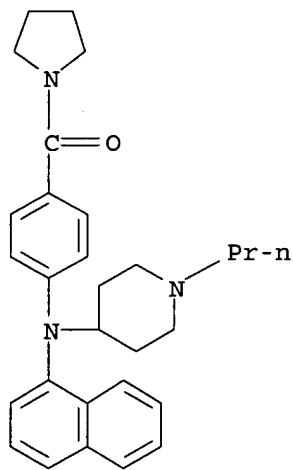
RN 229478-95-9 CAPLUS

CN Pyrrolidine, 1-[4-[(3-chlorophenyl)(1-propyl-4-piperidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME)



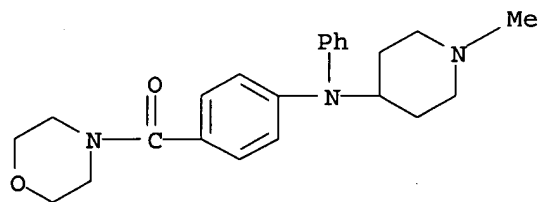
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CN Pyrrolidine, 1-[4-[1-naphthalenyl(1-propyl-4-piperidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME)



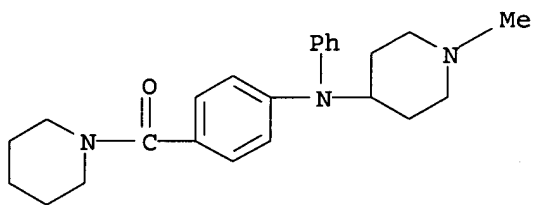
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CN Morpholine, 4-[4-[(1-methyl-4-piperidinyl)phenylamino]benzoyl]-(9CI) (CA INDEX NAME)



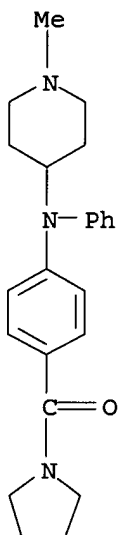
RN 229479-05-4 CAPLUS

CN Piperidine, 1-[4-[(1-methyl-4-piperidiny)phenylamino]benzoyl] - (9CI) (CA INDEX NAME)



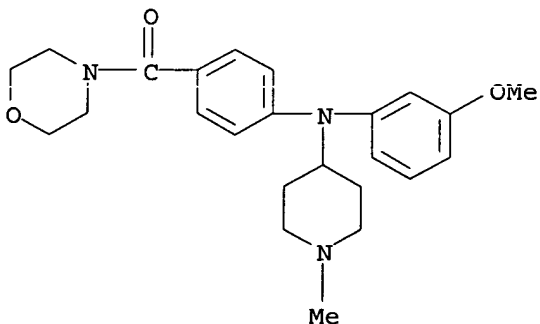
RN 229479-06-5 CAPLUS

CN Pyrrolidine, 1-[4-[(1-methyl-4-piperidiny)phenylamino]benzoyl] - (9CI) (CA INDEX NAME)



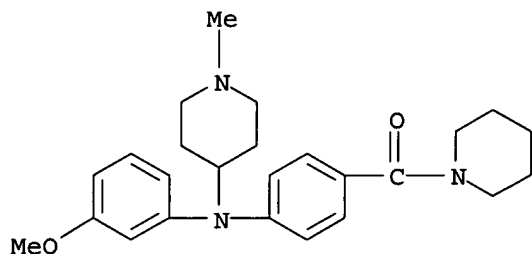
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CN Morpholine, 4-[4-[(3-methoxyphenyl) (1-methyl-4-piperidiny) amino]benzoyl] - (9CI) (CA INDEX NAME)



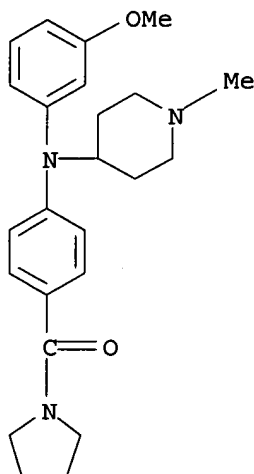
RN 229479-13-4 CAPLUS

CN Piperidine, 1-[4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME)



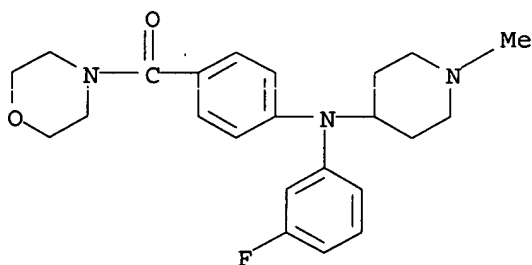
RN 229479-14-5 CAPLUS

CN Pyrrolidine, 1-[4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME)



RN 229479-19-0 CAPLUS

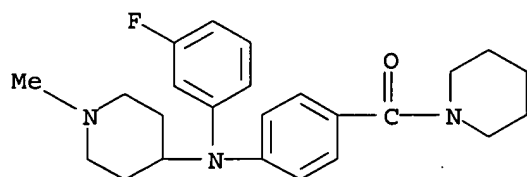
CN Morpholine, 4-[4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME)



RN 229479-21-4 CAPLUS

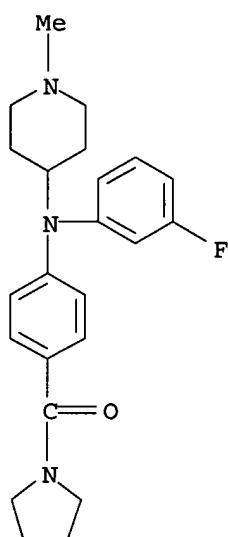
CN Piperidine, 1-[4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME)

(9CI) (CA INDEX NAME)



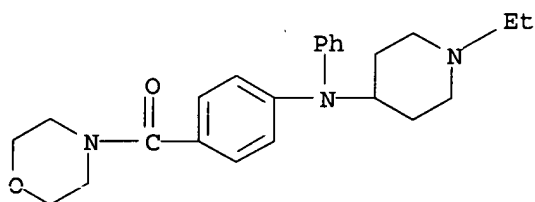
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CN Pyrrolidine, 1-[4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]benzoyl] - (9CI) (CA INDEX NAME)



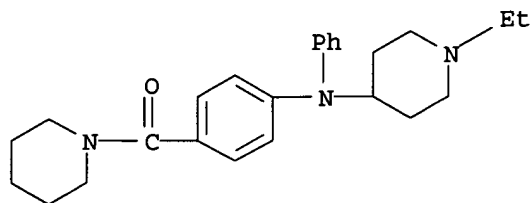
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CN Morpholine, 4-[4-[(1-ethyl-4-piperidinyl)phenylamino]benzoyl] - (9CI) (CA INDEX NAME)



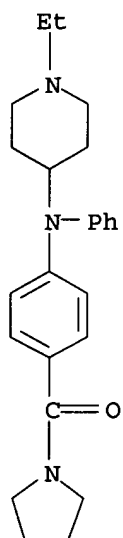
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CN Piperidine, 1-[4-[(1-ethyl-4-piperidinyl)phenylamino]benzoyl] - (9CI) (CA INDEX NAME)



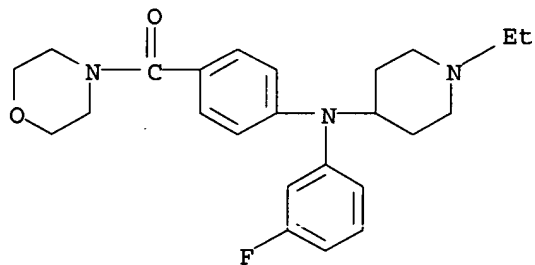
RN 229479-29-2 CAPLUS

CN Pyrrolidine, 1-[4-[(1-ethyl-4-piperidinyl)phenylamino]benzoyl] - (9CI) (CA INDEX NAME)



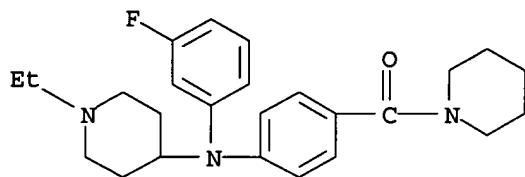
RN 229479-34-9 CAPLUS

CN Morpholine, 4-[4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]benzoyl] - (9CI) (CA INDEX NAME)



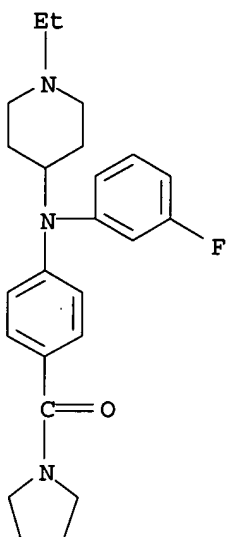
RN 229479-35-0 CAPLUS

CN Piperidine, 1-[4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]benzoyl] - (9CI) (CA INDEX NAME)



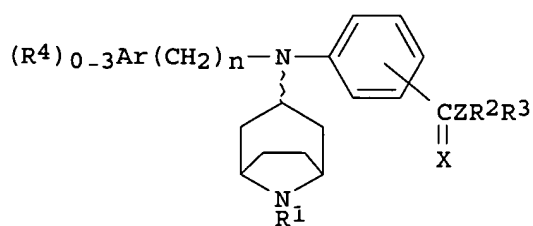
RN 229479-37-2 CAPLUS

CN Pyrrolidine, 1-[4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]benzoyl]-(9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI



I

AB 4-[Aryl(8-azabicyclo[3.2.1]octan-3-yl)]aminobenzoic acid derivs. I [R1 = alkyl, alkenyl, cycloalkyl, etc.; R2, R3 = H, alkyl, = (un)substituted Ph, etc.; X = S, O; Z = N, O; n = 0, 1; Ar = Ph, 1-naphthyl, 2-naphthyl; R4 = OH, halo, alkyl, CF3, etc.], delta-opioid receptor modulators and useful as analgesics, were prepared E.g. a solution of 8-methyl-N-phenyl-endo-8-azabicyclo[3.2.1]octan-3-amine, N,N-diethyl-4-bromobenzamide, tris(dibenzylideneacetone)dipalladium(0), tri-tert-butylphosphine, and

sodium tert-butoxide in dry toluene was heated at about 110°C under argon in a pressure vessel for about 16 h. to give N,N-diethyl-4-[phenyl(endo-8-methyl-8-azabicyclo[3.2.1]octan-3-yl)amino]benzamide isolated as 1:1 fumarate salt.

AN 2001:472716 CAPLUS

DN 135:76801

TI Preparation of 4-[aryl(8-azabicyclo[3.2.1]octan-3-yl)]aminobenzoic acid derivatives as delta-opioid receptor modulators

IN Carson, John R.; Boyd, Robert E.; Neilson, Lou Anne

PA Ortho-McNeil Pharmaceutical, Inc., USA

SO PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI	WO 2001046191	A1	20010628	WO 2000-US33055	20001204
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	CA 2395471	AA	20010628	CA 2000-2395471	20001204
	US 6306876	B1	20011023	US 2000-728972	20001204
	EP 1242421	A1	20020925	EP 2000-983946	20001204
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003518119	T2	20030603	JP 2001-547101	20001204
PRAI	US 1999-171422P	P	19991222		
	US 2000-728972	A	20001204		
	WO 2000-US33055	W	20001204		

OS MARPAT 135:76801

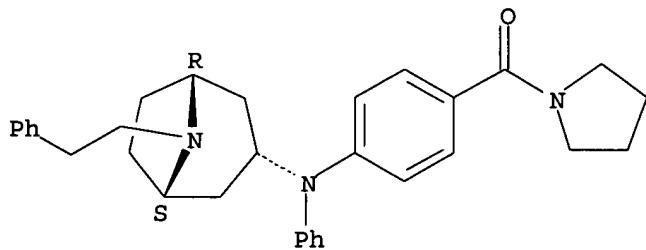
IT 287721-03-3P 346708-28-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-[aryl(8-azabicyclo[3.2.1]octan-3-yl)]aminobenzoic acid derivs. as delta-opioid receptor modulators)

RN 287721-03-3 CAPLUS

CN Pyrrolidine, 1-[4-[phenyl[(3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]benzoyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

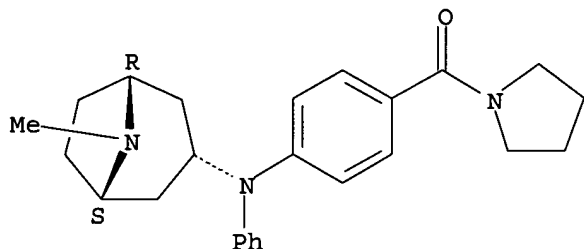


RN 346708-28-9 CAPLUS
 CN Pyrrolidine, 1-[4-[[[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]phenylamino]benzoyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

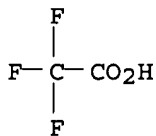
CRN 287721-01-1
 CMF C25 H31 N3 O

Relative stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

AB A series of 4-(N,N-diarylamino)piperidines are synthesized and evaluated for high affinity binding and selectivity to the δ -opioid receptor using a combination of 3D-QSAR and mol. docking techniques. Based on exptl. ligand binding data to both μ - and δ -opioid receptors, CoMFA fields are generated and applied to identify potential ligand modifications to further optimize lead compds. Mol. docking expts. to the δ -receptor are also reported that explain the CoMFA trends predicted as well as the differential binding and selectivity displayed by various compds. in the series. An anal. of the binding site model proposed indicates the piperidines take advantage of 3 key sites or binding domains within the δ -receptor. These include an aromatic pocket (approx. 1/3 into the receptor cavity), an aspartic acid residue (which serves as a docking point for the piperidinyl cationic amine) and a hydrophobic pocket at the extracellular boundary of the receptor cavity. Links are established between ligand modification and amino acid composition at these sites in μ and δ , providing new insight to the structural basis to binding and selectivity across the series and for related piperazines (i.e. SNC80 and BW373U86). Results are also presented that indicate δ - and μ -selectivity may be determined at alternate sites, suggesting

opioid receptors may display multiple binding domains. The model is further supported by comparisons with opiate binding modes and site directed mutagenesis studies and is finally applied to suggest new strategies in ligand design.

AN 2000:530560 CAPLUS

DN 133:261089

TI Synthesis and evaluation of 4-(N,N-diarylamino)piperidines with high selectivity to the δ -opioid receptor: a combined 3D-QSAR and ligand docking study

AU Podlogar, Brent L.; Poda, Gennady I.; Demeter, David A.; Zhang, Sui-Po; Carson, John R.; Neilson, Lou Anne; Reitz, Allen B.; Ferguson, David M.

CS Department of Chemistry, Bayer Research Center, West Haven, CT, 06516, USA

SO Drug Design and Discovery (2000), 17(1), 34-50

CODEN: DDDIEV; ISSN: 1055-9612

PB Harwood Academic Publishers

DT Journal

LA English

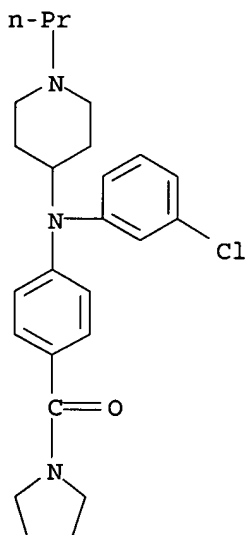
IT 229478-95-9 297750-53-9 297750-54-0

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(synthesis and evaluation of (diarylamino)piperidines with high selectivity to δ -opioid receptor over μ -opioid receptor using combined 3D-QSAR and ligand docking study)

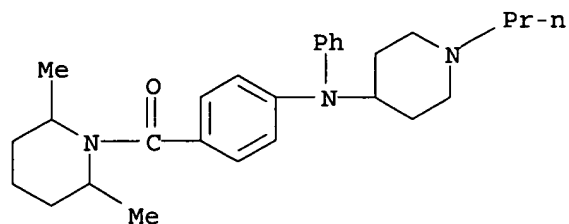
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CN Pyrrolidine, 1-[4-[(3-chlorophenyl)(1-propyl-4-piperidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME)



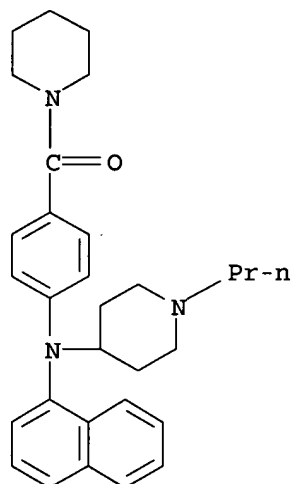
RN 297750-53-9 CAPLUS

CN Piperidine, 2,6-dimethyl-1-[4-[phenyl(1-propyl-4-piperidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME)



RN 297750-54-0 CAPLUS

CN Piperidine, 1-[4-[1-naphthalenyl(1-propyl-4-piperidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME)



RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

AB A series of 4-diarylamino tropanes has been prepared Both endo and exo diastereomeric forms bound to the delta opioid receptor but the endo isomers were more potent and selective vs. the μ opioid receptor than the exo isomers. The most potent delta opioid agonist exhibited a delta opioid K_i of 0.2 nM and was 860-fold selective over μ .

AN 2000:379678 CAPLUS

DN 133:144474

TI Synthesis and binding affinities of 4-diarylamino tropanes, a new class of delta opioid agonists

AU Boyd, Robert E.; Carson, John R.; Codd, Ellen E.; Gauthier, A. Diane; Neilson, Lou Anne; Zhang, Sui-Po

CS Drug Discovery, R. W. Johnson Pharmaceutical Research Institute, Philadelphia, PA, 19477, USA

SO Bioorganic & Medicinal Chemistry Letters (2000), 10(10), 1109-1111. CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

IT 287721-01-1 287721-03-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

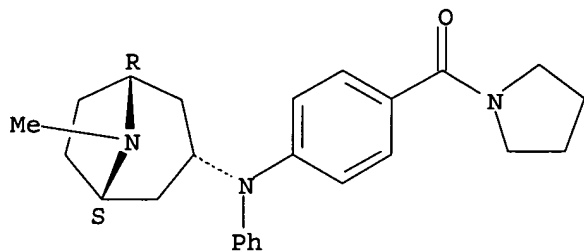
(Uses)

(synthesis and binding affinities of 4-diarylamino tropanes, a new class of delta opioid agonists)

RN 287721-01-1 CAPLUS

CN Pyrrolidine, 1-[4-[[3-(endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]phenylamino]benzoyl]- (9CI) (CA INDEX NAME)

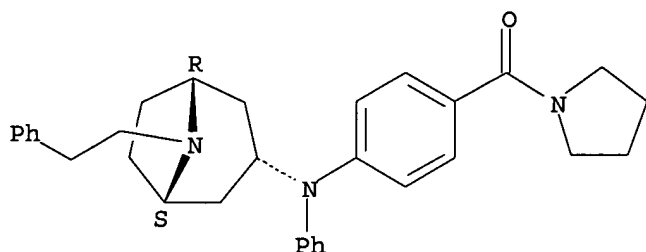
Relative stereochemistry.



RN 287721-03-3 CAPLUS

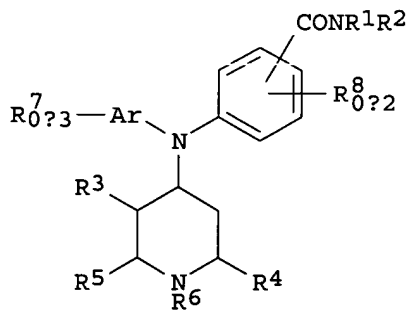
CN Pyrrolidine, 1-[4-[phenyl[(3-(endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]benzoyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI



I

AB 4-[Aryl(piperidin-4-yl)]aminobenzamides I [Ar = Ph, 1-naphthyl, 2-naphthyl; R1, R2 = H, alkyl, Ph, PhCH2, etc.; R3, R4, R5 = H, alkyl; R6 = H, alkyl, alkenyl, etc.; R7 = OH, halo, alkoxy, etc.; R8 = halo, alkyl, alkoxy, CF3], δ -opioid receptor agonists/antagonists, were prepared E.g., N,N-diethyl-4-[3-methoxyphenyl(1-propylpiperidin-4-yl)amino]benzamide fumarate was prepared As delta-opioid receptor agonists, such compds. are useful as analgesics.

AN 1999:460403 CAPLUS

DN 131:87826

TI Preparation of 4-[aryl(piperidin-4-yl)]aminobenzamides which bind to the delta-opioid receptor

IN Carson, John R.; Carmosin, Richard J.; Fitzpatrick, Louis J.; Reitz, Allen B.; Jetter, Michele C.

PA Ortho-Mcneil Pharmaceutical, Inc., USA

SO PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9933806	A1	19990708	WO 1998-US27350	19981223
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2316341	AA	19990708	CA 1998-2316341	19981223
	AU 9920097	A1	19990719	AU 1999-20097	19981223
	ZA 9811842	A	20000623	ZA 1998-11842	19981223
	EP 1049676	A1	20001108	EP 1998-964871	19981223
	EP 1049676	B1	20051012		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2001527068	T2	20011225	JP 2000-526490	19981223
	AT 306472	E	20051015	AT 1998-964871	19981223
	TW 476755	B	20020221	TW 1998-87121577	19990211
	AU 2003220725	A1	20030814	AU 2003-220725	20030722
PRAI	US 1997-68794P	P	19971224		
	AU 1999-20097	A3	19981223		
	WO 1998-US27350	W	19981223		

OS MARPAT 131:87826

IT 229478-61-9P 229478-95-9P 229478-99-3P

229479-04-3P 229479-05-4P 229479-06-5P

229479-12-3P 229479-13-4P 229479-14-5P

229479-19-0P 229479-21-4P 229479-22-5P

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229479-34-9P 229479-35-0P 229479-37-2P

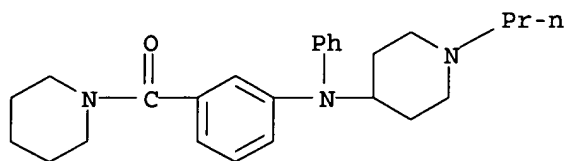
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and δ -opioid receptor binding of [aryl(piperidinyl)]aminobenzamides)

RN 229478-61-9 CAPLUS

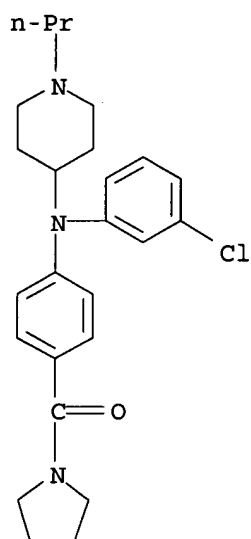
CN Piperidine, 1-[3-[phenyl(1-propyl-4-piperidinyl)amino]benzoyl]- (9CI) (CA

INDEX NAME)



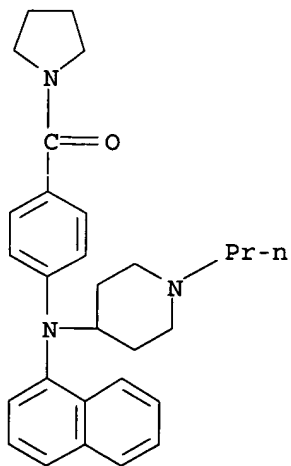
RN 229478-95-9 CAPLUS

CN Pyrrolidine, 1-[4-[(3-chlorophenyl)(1-propyl-4-piperidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME)



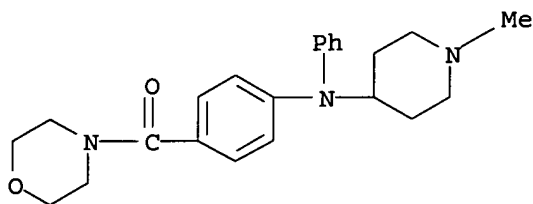
RN 229478-99-3 CAPLUS

CN Pyrrolidine, 1-[4-[1-naphthalenyl(1-propyl-4-piperidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME)



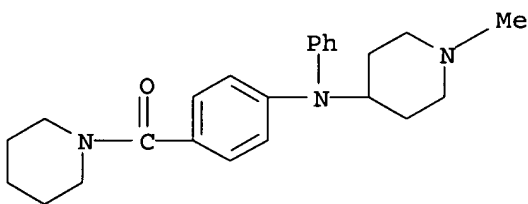
RN 229479-04-3 CAPLUS

CN Morpholine, 4-[4-[(1-methyl-4-piperidiny)phenylamino]benzoyl] - (9CI) (CA INDEX NAME)



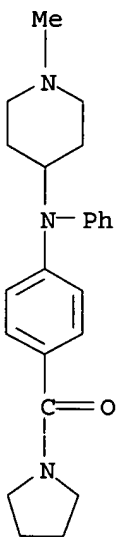
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CN Piperidine, 1-[4-[(1-methyl-4-piperidiny)phenylamino]benzoyl] - (9CI) (CA INDEX NAME)



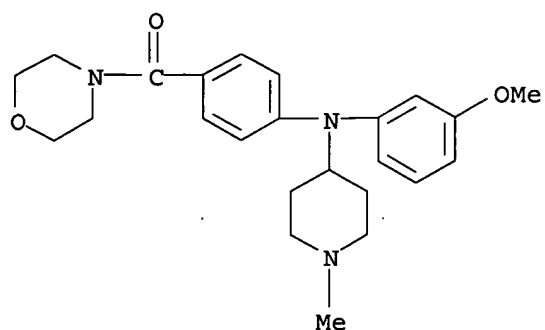
RN 229479-06-5 CAPLUS

CN Pyrrolidine, 1-[4-[(1-methyl-4-piperidiny)phenylamino]benzoyl] - (9CI) (CA INDEX NAME)



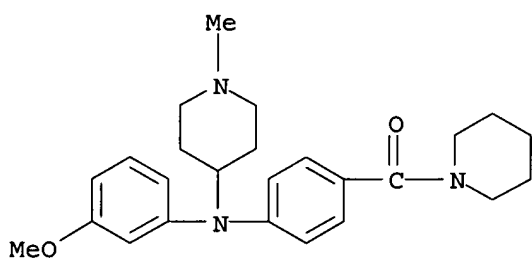
RN 229479-12-3 CAPLUS

CN Morpholine, 4-[4-[(3-methoxyphenyl)(1-methyl-4-piperidiny)amino]benzoyl] - (9CI) (CA INDEX NAME)



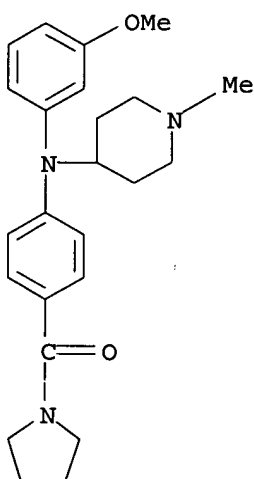
RN 229479-13-4 CAPLUS

CN Piperidine, 1-[4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-
(9CI) (CA INDEX NAME)



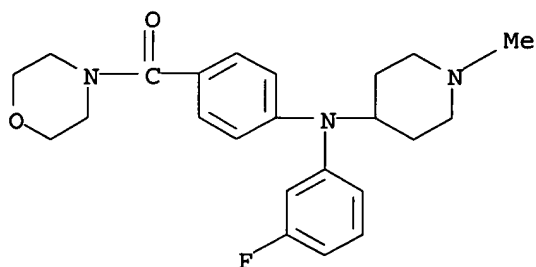
RN 229479-14-5 CAPLUS

CN Pyrrolidine, 1-[4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-
(9CI) (CA INDEX NAME)



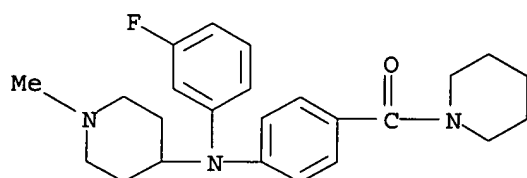
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CN Morpholine, 4-[4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-
(9CI) (CA INDEX NAME)



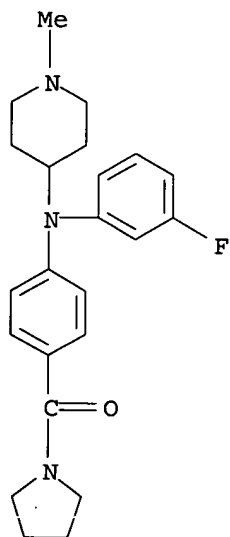
RN 229479-21-4 CAPLUS

CN Piperidine, 1-[4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-
(9CI) (CA INDEX NAME)



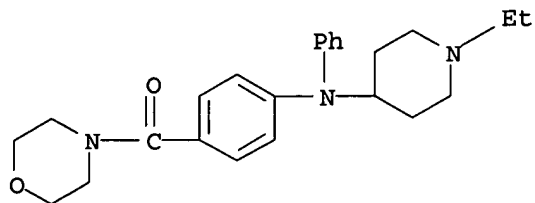
RN 229479-22-5 CAPLUS

CN Pyrrolidine, 1-[4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-
(9CI) (CA INDEX NAME)



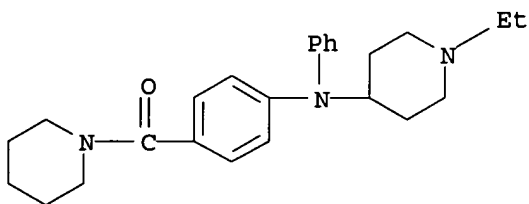
RN 229479-27-0 CAPLUS

CN Morpholine, 4-[4-[(1-ethyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA
INDEX NAME)



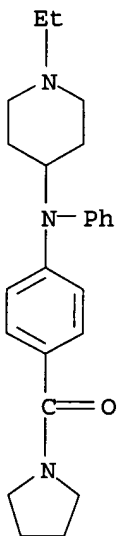
RN 229479-28-1 CAPLUS

CN Piperidine, 1-[4-[(1-ethyl-4-piperidinyl)phenylamino]benzoyl] - (9CI) (CA INDEX NAME)



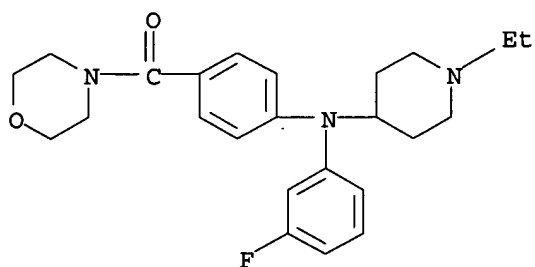
RN 229479-29-2 CAPLUS

CN Pyrrolidine, 1-[4-[(1-ethyl-4-piperidinyl)phenylamino]benzoyl] - (9CI) (CA INDEX NAME)



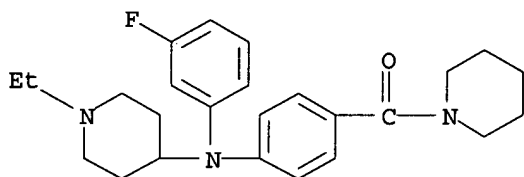
RN 229479-34-9 CAPLUS

CN Morpholine, 4-[4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]benzoyl] - (9CI) (CA INDEX NAME)



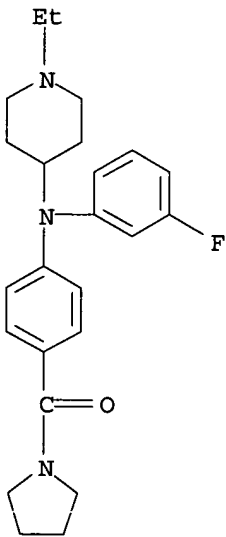
RN 229479-35-0 CAPLUS

CN Piperidine, 1-[4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]benzoyl]-(9CI) (CA INDEX NAME)



RN 229479-37-2 CAPLUS

CN Pyrrolidine, 1-[4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]benzoyl]-(9CI) (CA INDEX NAME)



RE.CNT 6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s 12

L3 72 L2

=> d abs bib hitstr 65-72

L3 ANSWER 65 OF 72 CAPLUS COPYRIGHT 2006 ACS on STN

GI For diagram(s), see printed CA Issue.

AB Fifteen piperidines [I; R = e.g., 4,2-MeCO(MeO)C₆H₃O(CH₂)₃, p-FC₆H₄CO(CH₂)₃, PhNHCO; R₁ = cyclohexyl, Ph, p-FC₆H₄, m-CF₃C₆H₄; R₂ = H, F] and/or their oxalate salts, useful as inflammation inhibitors and tranquilizers (dosages given), were prepared Thus, 1-acetyl-4-(α -cyclohexyl- α -hydroxybenzyl)piperidine was dehydrated-deacetylated by heating with 3N HCl-EtOH at reflux, and the product (I; R = R₂ = H; R₁ = cyclohexyl) was treated with PhNCO in C₆H₆ to give I (R = PhNHCO; R₁, R₂ as above).

AN 1976:59224 CAPLUS

DN 84:59224

TI 1-Substituted-4-benzylidenepiperidines

IN Duncan, Robert L., Jr.; Boswell, Robert F., Jr.

PA A. H. Robins Co., Inc., USA

SO U.S., 6 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	US 3922276	A	19751125	US 1974-531631	19741211
	IL 48593	A1	19790131	IL 1975-48593	19751202
	BE 836393	A1	19760401	BE 1975-162542	19751208
	DK 7505582	A	19760612	DK 1975-5582	19751209
	NO 7504179	A	19760614	NO 1975-4179	19751210
	SE 7513954	A	19760614	SE 1975-13954	19751210
	DE 2555590	A1	19760616	DE 1975-2555590	19751210
	FR 2293932	A1	19760709	FR 1975-37812	19751210
	FR 2293932	B1	19790622		
	BR 7508161	A	19760824	BR 1975-8161	19751210
	ZA 7507747	A	19761124	ZA 1975-7747	19751210
	ES 443361	A1	19770416	ES 1975-443361	19751210
	GB 1533409	A	19781122	GB 1975-50638	19751210
	CA 1072562	A1	19800226	CA 1975-241423	19751210
	NL 7514461	A	19760615	NL 1975-14461	19751211
	JP 51082277	A2	19760719	JP 1975-147873	19751211
	AU 7587477	A1	19770616	AU 1975-87477	19751211
	AT 352128	B	19790910	AT 1975-9429	19751211
	AT 7509429	A	19790215		
PRAI	US 1974-531631	A	19741211		

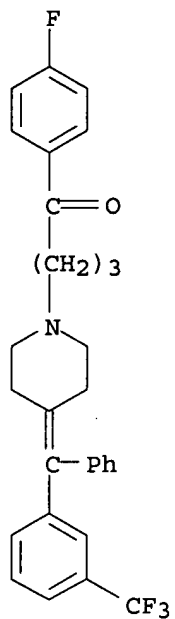
IT 58113-40-9P 58113-41-0P 58113-43-2P

58113-45-4P 58113-47-6P 58113-49-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 58113-40-9 CAPLUS

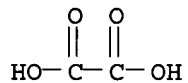
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-[(4-fluorophenyl)phenylmethylene]-1-piperidinyl]- (9CI) (CA INDEX NAME)



CM 2

CRN 144-62-7

CMF C2 H2 O4



RN 58113-47-6 CAPLUS

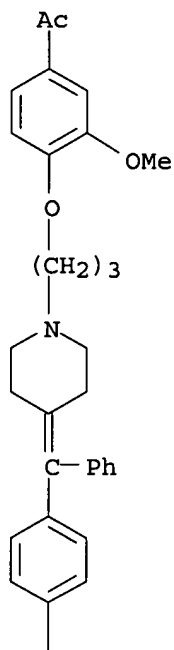
CN Ethanone, 1-[4-[3-[4-[(4-fluorophenyl)phenylmethylene]-1-piperidinyl]propoxy]-3-methoxyphenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 58113-46-5

CMF C30 H32 F N O3

PAGE 1-A



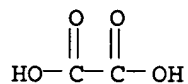
PAGE 2-A



CM 2

CRN 144-62-7

CMF C2 H2 O4



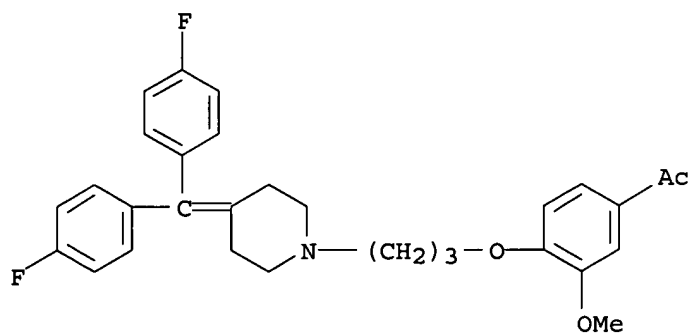
RN 58113-49-8 CAPLUS

CN Ethanone, 1-[4-[3-[4-[bis(4-fluorophenyl)methylene]-1-piperidinyl]propoxy]-3-methoxyphenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 58113-48-7

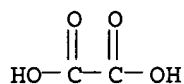
CMF C30 H31 F2 N 03



CM 2

CRN 144-62-7

CMF C2 H2 O4



L3 ANSWER 66 OF 72 CAPLUS COPYRIGHT 2006 ACS on STN

GI For diagram(s), see printed CA Issue.

AB Piperidinobutanols I and II (R = p-Me₃CC₆H₄, cyclohexyl, R₁ = OH, R₂ = H, R₃ = H, CMe₃) were prepared by reducing I and II (R₁R₂ = O) with KBH₄. I (R = cyclohexyl, R₁ = OH, R₂ = H, R₃ = CMe₃) and II (R₁ = OH, R₂ = H) had antihistaminic ED₅₀ of 12 and 4.4 mg/kg resp. orally in guinea pigs.

AN 1976:43856 CAPLUS

DN 84:43856

TI α-Aryl-4-substituted piperidinoalkyl derivatives

IN Carr, Albert A.; Kinsolving, Clyde R.

PA Richardson-Merrell Inc., USA

SO Ger. Offen., 26 pp. Addn. to Ger. Offen. 2,303,306.

CODEN: GWXXBX

DT Patent

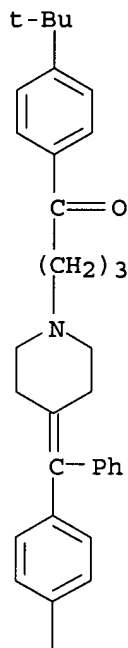
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2503362	A1	19750821	DE 1975-2503362	19750128
	US 3941795	A	19760302	US 1974-440855	19740208
	AU 7476688	A1	19760624	AU 1974-76688	19741220
	IL 46341	A1	19780929	IL 1974-46341	19741225
	ZA 7500048	A	19760128	ZA 1975-48	19750102
	JP 50108266	A2	19750826	JP 1975-7179	19750117
	GB 1437939	A	19760603	GB 1975-3393	19750127
	CA 1082703	A1	19800729	CA 1975-219191	19750131
	SE 7501196	A	19750811	SE 1975-1196	19750204
	CH 609972	A	19790330	CH 1975-1297	19750204
	FR 2260348	A2	19750905	FR 1975-3733	19750206
	DK 7500417	A	19751006	DK 1975-417	19750206
	BE 825302	A4	19750529	BE 1975-153164	19750207
	NO 7500394	A	19750811	NO 1975-394	19750207

NL 7501463 A 19750812 NL 1975-1463 19750207
 PRAI US 1974-440855 A 19740208
 IT 57257-38-2
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reduction of)
 RN 57257-38-2 CAPLUS
 CN 1-Butanone, 1-[4-(1,1-dimethylethyl)phenyl]-4-[4-[(4-methylphenyl)phenylmethylene]-1-piperidinyl]-, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



● HCl

L3 ANSWER 67 OF 72 CAPLUS COPYRIGHT 2006 ACS on STN
 GI For diagram(s), see printed CA Issue.
 AB Piperidinobutyrophenones I (R = H, R1 = cyclopentyl, CMe3; R = CMe3, R1 = H; R = Me, Cl, CMe3, R1 = CMe3; R = Cl, R1 = F) and some related compds. were prepared by treating the piperidines with the 4-chlorobutanones. Thus, 4-piperidinyldiphenylmethanol was treated with 4-chloro-4'-cyclopentylbutyrophenone to give I (R = H, R1 = cyclopentyl), which had an antihistaminic ED50 orally in guinea pigs of 2.5 mg/kg.

AN 1975:593101 CAPLUS
 DN 83:193101
 TI Substituted piperidine derivatives
 IN Carr, Albert A.; Kinsolving, Clyde R.
 PA Richardson-Merrell Inc., USA
 SO Ger. Offen., 35 pp. Addn. to Ger. Offen. 2,303,305.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2503002	A1	19750814	DE 1975-2503002	19750125
	US 3931197	A	19760106	US 1974-440856	19740208
	AU 7476689	A1	19760624	AU 1974-76689	19741220
	IL 46340	A1	19790531	IL 1974-46340	19741225
	ZA 7500049	A	19760128	ZA 1975-49	19750102
	JP 50108265	A2	19750826	JP 1975-7178	19750117
	GB 1437940	A	19760603	GB 1975-3394	19750127
	CA 1082704	A1	19800729	CA 1975-219192	19750131
	SE 7501197	A	19750811	SE 1975-1197	19750204
	CH 599938	A	19780615	CH 1975-1296	19750204
	FR 2260349	A2	19750905	FR 1975-3734	19750206
	FR 2260350	A2	19750905	FR 1975-3735	19750206
	DK 7500418	A	19751006	DK 1975-418	19750206
	ES 434512	A2	19770401	ES 1975-434512	19750206
	BE 825301	A4	19750529	BE 1975-153163	19750207
	BE 825309	A4	19750529	BE 1975-153171	19750207
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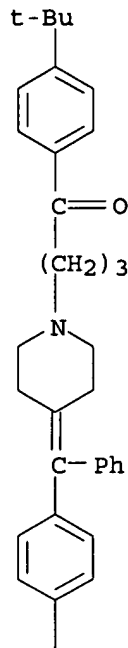
IT 57257-38-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and antihistaminic activity of)

RN 57257-38-2 CAPLUS

CN 1-Butanone, 1-[4-(1,1-dimethylethyl)phenyl]-4-[4-[(4-methylphenyl)phenylmethylene]-1-piperidinyl]-, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

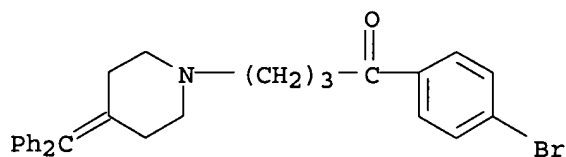


● HCl

L3 ANSWER 68 OF 72 CAPLUS COPYRIGHT 2006 ACS on STN
 GI For diagram(s), see printed CA Issue.
 AB Anti-histaminic piperidinobutyrophenone oximes I (R = H, F, Br, CMe₃, NMe₂, OMe, Me, piperidino), their dehydrated analogs and some related compds. (17 compds.) were prepared by oximation of the ketones. I (R = F) has an antihistaminic ED₅₀ orally in guinea pigs of 5.4 mg/kg.
 AN 1974:535971 CAPLUS
 DN 81:135971
 TI Substituted piperidinoalkanone oxime derivatives
 IN Carr, Albert A.; Kinsolving, C. Richard; Meyer, Donald R.
 PA Richardson-Merrell Inc.
 SO U.S., 5 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 3

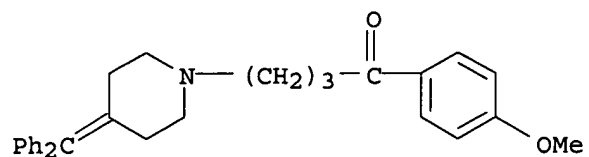
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PI	US 3829433	A	19740813	US 1972-221822	19720128

ZA 7208543	A	19730829	ZA 1972-8543	19721204
AU 7249897	A1	19740613	AU 1972-49897	19721211
GB 1413139	A	19751105	GB 1972-57996	19721215
CH 582672	A	19761215	CH 1972-18543	19721220
JP 48085577	A2	19731113	JP 1972-130135	19721227
JP 51012631	B4	19760421		
SU 461497	D	19750225	SU 1972-1867106	19721227
AT 321915	B	19750425	AT 1972-11154	19721229
CA 978947	A1	19751202	CA 1973-161414	19730116
HU 166478	P	19750328	HU 1973-RI499	19730117
ES 410729	A1	19760401	ES 1973-410729	19730118
NL 7300870	A	19730731	NL 1973-870	19730122
DE 2303246	A1	19730802	DE 1973-2303246	19730124
DE 2303246	B2	19800619		
DE 2303246	C3	19810409		
FR 2181691	A1	19731207	FR 1973-2504	19730124
SE 382060	B	19760112	SE 1973-975	19730124
DE 2365906	A1	19761021	DE 1973-2365906	19730124
DD 103240	C	19740112	DD 1973-168484	19730125
BE 794596	A1	19730516	BE 1973-126937	19730126
PL 89096	P	19761030	PL 1973-160420	19730126
CS 177835	P	19770831	CS 1973-631	19730126
DK 136312	B	19770926	DK 1973-450	19730126
NO 140058	B	19790319	NO 1973-327	19730126
NO 140058	C	19790704		
PRAI US 1972-221822	A	19720128		
IT 43076-34-2		50707-01-2	54238-33-4	
		54238-34-5	54238-35-6	54238-36-7
		RL: RCT (Reactant); RACT (Reactant or reagent)		
		(oximation of)		
RN 43076-34-2		CAPLUS		
CN 1-Butanone, 1-(4-bromophenyl)-4-[4-(diphenylmethylene)-1-piperidinyl]-, hydrochloride (9CI)		(CA INDEX NAME)		



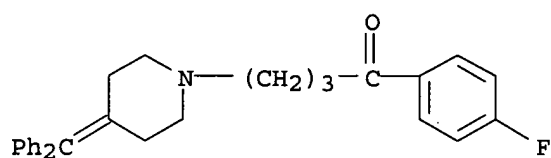
● HCl

RN 50707-01-2 CAPLUS
 CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(4-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)



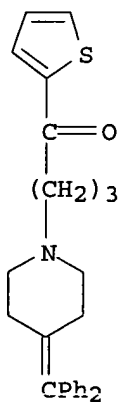
● HCl

RN 54238-33-4 CAPLUS
 CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(4-fluorophenyl)-,
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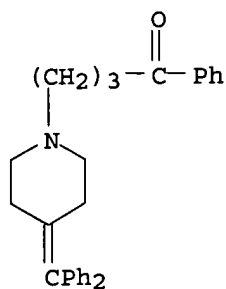
● HCl

RN 54238-34-5 CAPLUS
 CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(2-thienyl)-,
 hydrochloride (9CI) (CA INDEX NAME)



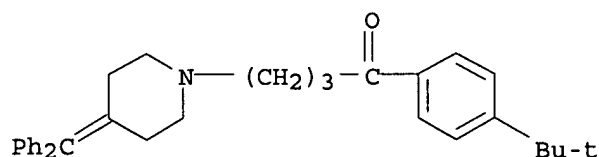
● HCl

RN 54238-35-6 CAPLUS
 CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-phenyl-,
 hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 54238-36-7 CAPLUS
 CN 1-Butanone, 1-[4-(1,1-dimethylethyl)phenyl]-4-[4-(diphenylmethylene)-1-piperidinyll]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L3 ANSWER 69 OF 72 CAPLUS COPYRIGHT 2006 ACS on STN
 GI For diagram(s), see printed CA Issue.
 AB Fifteen title compds. (I; R = OH or H, R1 = H, or RR1 = a bond; R2 = 2-thienyl or C6H4R3-4 with R3 = F, H, Me, CMe3, Br, piperidino, or NMe2; n = 1-3) were prepared mainly as hydrochlorides by N-alkylation of the piperidines II in the presence of KI and NaHCO3. I were effective orally as antihistaminics against histamine-induced skin irritations in guinea pigs and also useful as antiallergics and bronchodilators.
 AN 1973:505085 CAPLUS
 DN 79:105085
 TI Antihistaminic piperidine derivatives
 IN Carr, Albert A.; Kinsolving, Clyde R.
 PA Richardson-Merrell Inc.
 SO Ger. Offen., 29 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 2

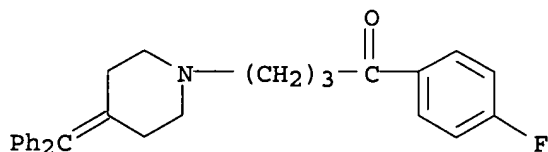
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PI	DE 2303305	A1	19730802	DE 1973-2303305	19730124
	DE 2303305	C3	19790913		
	DE 2303305	B2	19790104		

US 3806526	A	19740423	US 1972-221823	19720128
ZA 7208542	A	19730829	ZA 1972-8542	19721204
AU 7249894	A1	19740613	AU 1972-49894	19721211
GB 1412605	A	19751105	GB 1972-57994	19721215
CH 584197	A	19770131	CH 1972-18544	19721220
JP 48085576	A2	19731113	JP 1972-130134	19721227
JP 51015034	B4	19760513		
SU 472502	D	19750530	SU 1972-1865664	19721227
AT 321916	B	19750425	AT 1972-11155	19721229
AT 321912	B	19750425	AT 1974-3065	19721229
CA 994779	A1	19760810	CA 1973-161366	19730116
CA 994784	A1	19760810	CA 1973-161367	19730116
HU 167948	P	19760128	HU 1973-RI501	19730117
ES 410730	A1	19760416	ES 1973-410730	19730118
NL 7300869	A	19730731	NL 1973-869	19730122
NL 174348	B	19840102		
NL 174348	C	19840601		
FR 2181692	A1	19731207	FR 1973-2505	19730124
SE 382059	B	19760112	SE 1973-976	19730124
DD 104297	C	19740312	DD 1973-168485	19730125
BE 794595	A1	19730516	BE 1973-126936	19730126
PL 89087	P	19761030	PL 1973-160415	19730126
PL 92127	P	19770331	PL 1973-182184	19730126
CS 177836	P	19770831	CS 1973-632	19730126
DK 136714	B	19771114	DK 1973-451	19730126
NO 140059	B	19790319	NO 1973-328	19730126
NO 140059	C	19790704		
PRAI US 1972-221823	A	19720128		

IT 43076-31-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 43076-31-9 CAPLUS

CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(4-fluorophenyl)-
(9CI) (CA INDEX NAME)

L3 ANSWER 70 OF 72 CAPLUS COPYRIGHT 2006 ACS on STN

GI For diagram(s), see printed CA Issue.

AB Eleven title compds. (I; R = OH or H; R1 = H, or RR1 = a bond; n = 3 or 1; R2 = 2-thienyl or C6H4R3-4 with R3 = CMe3, F, Br, H, NMe2, or OMe) were prepared mainly as hydrochlorides by NaBH4 reduction of the corresponding ketones. I were used as antihistaminics and broncholytic agents.

AN 1973:505083 CAPLUS

DN 79:105083

TI Antihistaminic and broncholytic piperidinoalkanols

IN Carr, Albert Anthony; Kinsolving, Clyde R.

PA Richardson-Merrell Inc.

SO Ger. Offen., 25 pp.

CODEN: GWXXBX

DT Patent

LA German
FAN.CNT 1

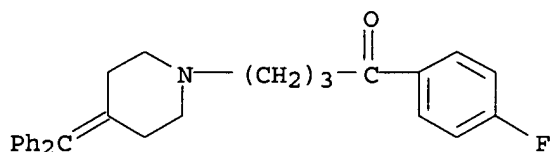
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PI	DE 2303306	A1	19730802	DE 1973-2303306	19730124
	DE 2303306	C3	19790531		
	DE 2303306	B2	19780928		
	ZA 7208544	A	19740227	ZA 1972-8544	19721204
	AU 7249893	A1	19740613	AU 1972-49893	19721211
	GB 1413138	A	19751105	GB 1972-57995	19721215
	CH 587820	A	19770513	CH 1972-18542	19721220
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	JP 48085578	A2	19731113	JP 1972-130136	19721227
	JP 51015035	B4	19760513		
	AT 321917	B	19750425	AT 1972-11153	19721229
	AT 323742	B	19750725	AT 1972-323742	19721229
	CA 978946	A1	19751202	CA 1973-161413	19730116
	HU 166477	P	19750328	HU 1973-RI498	19730117
	ES 410731	A1	19760401	ES 1973-410731	19730118
	NL 7300873	A	19730731	NL 1973-873	19730122
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	FR 2181690	A1	19731207	FR 1973-2503	19730124
	SE 382058	B	19760112	SE 1973-974	19730124
	DD 103239	C	19740112	DD 1973-168482	19730125
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	CS 177834	P	19770831	CS 1973-630	19730126
	DK 136713	C	19780501	DK 1973-449	19730126
	NO 140057	B	19790319	NO 1973-326	19730126
	NO 140057	C	19790704		
	SU 464997	D	19750325	SU 1973-1878074	19730127
	US 3878217	A	19750415	US 1973-378561	19730712
PRAI	US 1972-221821	A	19720128		

IT 43076-31-9 43076-34-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of)

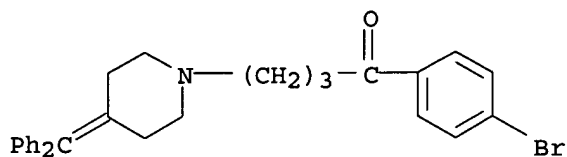
RN 43076-31-9 CAPLUS

CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(4-fluorophenyl)-
(9CI) (CA INDEX NAME)



RN 43076-34-2 CAPLUS

CN 1-Butanone, 1-(4-bromophenyl)-4-[4-(diphenylmethylene)-1-piperidinyl]-,
hydrochloride (9CI) (CA INDEX NAME)



● HCl

L3 ANSWER 71 OF 72 CAPLUS COPYRIGHT 2006 ACS on STN
 GI For diagram(s), see printed CA Issue.
 AB Twelve piperidine derivs. (I, R = H, R1 = Ph2CH, or RR1 = Ph2C; R2 = Ph, substituted phenyl, or 2-thienyl; Q = CH:CH or CO; n = 2 or 3) were prepared, mostly as hydrochlorides, preferably by dehydration of I Q = CH2CH(OH) or CO, R = H, R1 = Ph2CH or Ph2C(OH) in the presence of HCl. Three I exhibited antihistaminic and bronchodilating effects in guinea pigs.

AN 1973:505077 CAPLUS

DN 79:105077

TI Antihistaminic and bronchodilating piperidine derivatives

IN Carr, Albert Anthony; Kinsolving, Clyde R.

PA Richardson-Merrell Inc.

SO Ger. Offen., 26 pp.

CODEN: GWXXBX

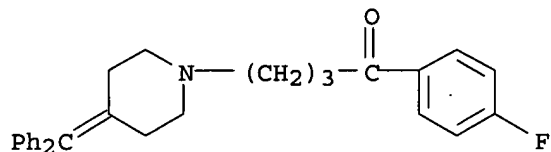
DT Patent

LA German

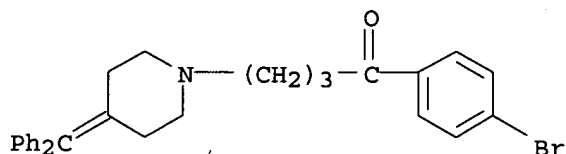
FAN.CNT 2

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	US 3862173	A	19750121	US 1972-221820	19720128
	ZA 7208545	A	19730829	ZA 1972-8545	19721204
	AU 7249901	A1	19740613	AU 1972-49901	19721211
	GB 1413140	A	19751105	GB 1972-57997	19721215
	CH 582673	A	19761215	CH 1972-18545	19721220
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	AT 323743	B	19750725	AT 1972-11152	19721229
	CA 994780	A1	19760810	CA 1973-161412	19730116
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	FR 2191699	A1	19731207	FR 1973-2502	19730124
	SE 382455	B	19760202	SE 1973-973	19730124
	DD 103238	C	19740112	DD 1973-168483	19730125
	BE 794598	A1	19730516	BE 1973-126939	19730126
	PL 89094	P	19761030	PL 1973-160416	19730126
	CS 177833	P	19770831	CS 1973-629	19730126
	DK 137327	B	19780220	DK 1973-448	19730126
	NO 140056	B	19790319	NO 1973-325	19730126
	NO 140056	C	19790704		
	US 4180583	A	19791225	US 1978-892636	19780403
PRAI	US 1972-221820	A	19720128		

US 1975-538504 A3 19750106
 IT 43076-31-9P 43076-34-2P 50707-01-2P
 50707-23-8P 54238-33-4P 54238-34-5P
 54238-35-6P 54238-36-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 43076-31-9 CAPLUS
 CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(4-fluorophenyl)-
 (9CI) (CA INDEX NAME)

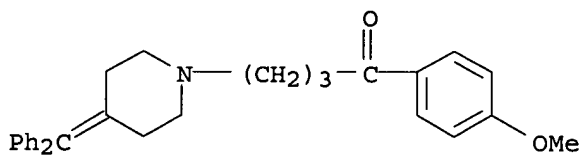


RN 43076-34-2 CAPLUS
 CN 1-Butanone, 1-(4-bromophenyl)-4-[4-(diphenylmethylene)-1-piperidinyl]-,
 hydrochloride (9CI) (CA INDEX NAME)



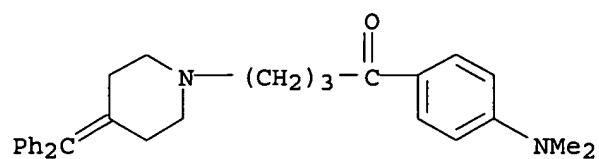
● HCl

RN 50707-01-2 CAPLUS
 CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(4-methoxyphenyl)-,
 hydrochloride (9CI) (CA INDEX NAME)



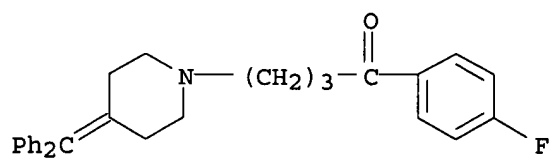
● HCl

RN 50707-23-8 CAPLUS
 CN 1-Butanone, 1-[4-(dimethylamino)phenyl]-4-[4-(diphenylmethylene)-1-
 piperidinyl]- (9CI) (CA INDEX NAME)



RN 54238-33-4 CAPLUS

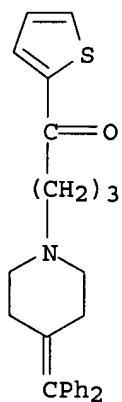
CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(4-fluorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 54238-34-5 CAPLUS

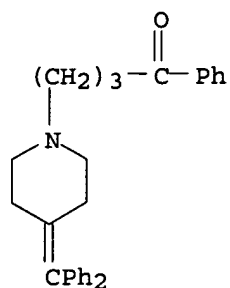
CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(2-thienyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

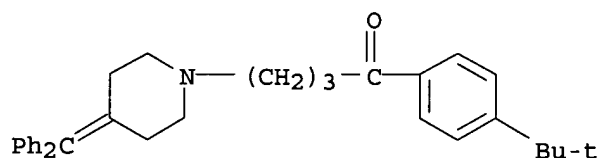
RN 54238-35-6 CAPLUS

CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 54238-36-7 CAPLUS
 CN 1-Butanone, 1-[4-(1,1-dimethylethyl)phenyl]-4-[4-(diphenylmethylene)-1-piperidinyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L3 ANSWER 72 OF 72 CAPLUS COPYRIGHT 2006 ACS on STN
 GI For diagram(s), see printed CA Issue.
 AB Six oximes (I, R = F, Br, MeO, Me3C, or Me2N; R1 = H or OH; n = 1 or 3) were prepared, partly as hydrochlorides, by reaction of II with H2NOH.HCl. Three I.HCl had antihistaminic and bronchodilating effects in guinea pigs.
 AN 1973:505076 CAPLUS
 DN 79:105076
 TI Antihistaminic and bronchodilating aryl piperidinoalkyl ketone oximes
 IN Carr, Albert Anthony; Kinsolving, Clyde R.; Meyer, Donald Ralph
 PA Richardson-Merrell Inc.
 SO Ger. Offen., 23 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 3

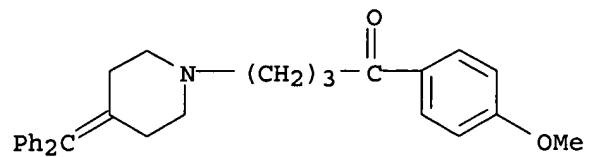
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	DE 2303246	B2	19800619		
	DE 2303246	C3	19810409		
	US 3829433	A	19740813	US 1972-221822	19720128
PRAI	US 1972-221822	A	19720128		
IT	50707-01-2				

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with hydroxylamine)

RN 50707-01-2 CAPLUS

CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(4-methoxyphenyl)-,
hydrochloride (9CI) (CA INDEX NAME)



● HCl

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

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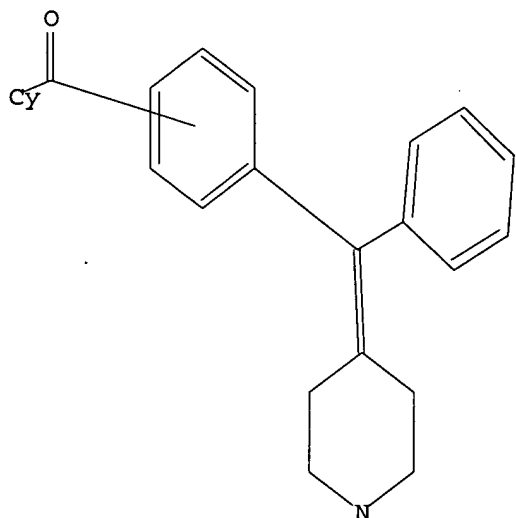
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L3 STRUCTURE UPLOADED

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L3 STR



Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED 348 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 5841 TO 8079

PROJECTED ANSWERS: 3 TO 163

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10730265

27/03/2006

Page 2

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SEARCH TIME: 00.00.01

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COST IN U.S. DOLLARS	ENTRY	SESSION
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FILE LAST UPDATED: 26 Mar 2006 (20060326/ED)

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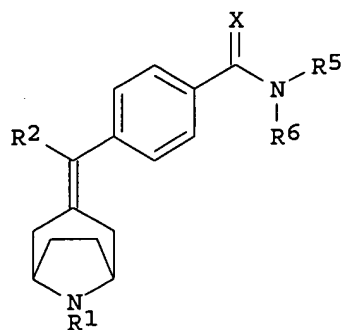
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L6 5 L5

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L6 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI

10730265



I

AB The title compds. [I; R1 = H, alkyl, cycloalkylalkyl, heterocyclalkyl, aralkyl, etc.; R2 = H, halo, CN, benzodioxolyl, etc.; X = O, S; R5-R6 = H, alkyl] and their pharmaceutically acceptable enantiomers, diastereoisomers and salts, were prepared. Thus, tropinone and N,N-diethyl-4-benzoylbenzamide (preparation given) in THF were added to a prerefluxed mixture of TiCl₄ and Zn

in THF followed by 3 h reflux to give N,N-diethyl-4-[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]benzamide hydrochloride. The latter at 150 µmole/kg orally in mice gave 87% inhibition of acetylcholine bromide-induced abdominal constriction. Depending on their agonist or antagonist effect, I are analgesics, immunosuppressants, antiinflammatory agents, neurol. and psychiatric drugs, medicaments for drug and alc. abuse, agents for treating gastritis and diarrhea, cardiovascular agents and agents for the treatment of respiratory diseases.

AN 2005:15941 CAPLUS

DN 142:113912

TI Preparation of 3-(diarylmethylene)-8-azabicyclo[3.2.1]octanes as δ- or µ-opioid receptor modulators

IN Coats, Steven J.; Carson, John R.; Neilson, Lou Anne; Pitis, Philip M.; Schulz, Mark J.

PA USA

SO U.S. Pat. Appl. Publ., 42 pp., Cont.-in-part of U.S. Ser. No. 360,859, abandoned.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005004163	A1	20050106	US 2004-767712	20040129
				US 2000-186778P	P 20000303
				US 2001-791246	A1 20010222
				US 2003-360859	B2 20030207
	US 2002115662	A1	20020822	US 2001-791246	20010222
	US 6552036	B2	20030422		
				US 2000-186778P	P 20000303
				US 2003-360859	20030207
	US 2003181447	A1	20030925	US 2001-791246	A1 20010222

PATENT FAMILY INFORMATION:

FAN 2001:676766

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001066543	A2	20010913	WO 2001-US5735	20010222

WO 2001066543 A3 20020314
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 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 CA 2402039 AA 20010913 US 2000-186778P P 20000303
 US 2001-2402039 20010222
 US 2000-186778P P 20000303
 WO 2001-US5735 W 20010222
 BR 2001008965 A 20021126 BR 2001-8965 20010222
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 WO 2001-US5735 W 20010222
 EP 1263758 A2 20021211 EP 2001-912949 20010222
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2000-186778P P 20000303
 WO 2001-US5735 W 20010222
 JP 2003525938 T2 20030902 JP 2001-565359 20010222
 US 2000-186778P P 20000303
 WO 2001-US5735 W 20010222

OS MARPAT 142:113912

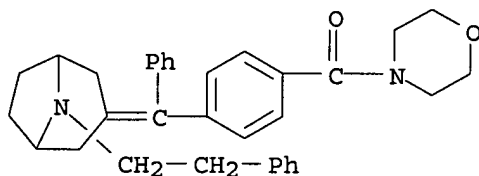
IT 359862-92-3P 359862-95-6P 359863-17-5P
 359863-20-0P 359863-24-4P 359863-44-8P
 359863-52-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethyleneazabicyclooctanes as δ - or μ -opioid receptor modulators)

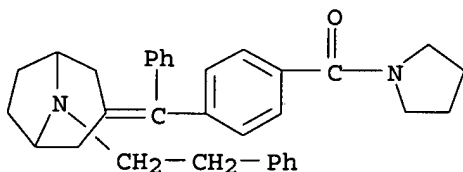
RN 359862-92-3 CAPLUS

CN Morpholine, 4-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)



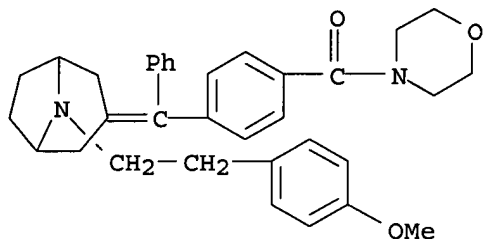
RN 359862-95-6 CAPLUS

CN Pyrrolidine, 1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)



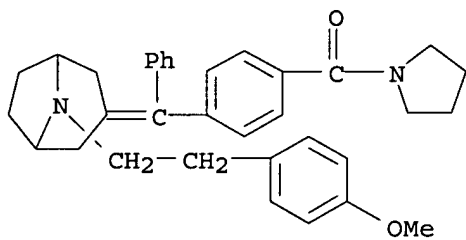
RN 359863-17-5 CAPLUS

CN Morpholine, 4-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]- (9CI) (CA INDEX NAME)



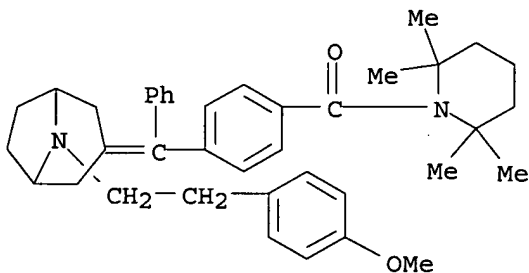
RN 359863-20-0 CAPLUS

CN Pyrrolidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 359863-24-4 CAPLUS

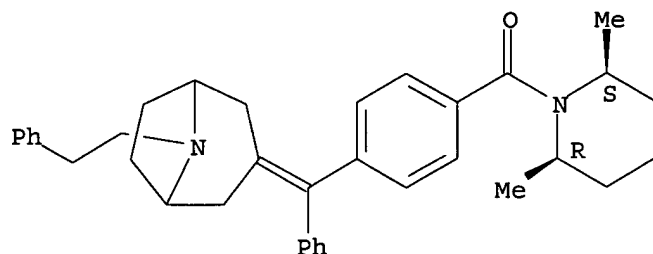
CN Piperidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]-2,2,6,6-tetramethyl- (9CI) (CA INDEX NAME)



RN 359863-44-8 CAPLUS

CN Piperidine, 2,6-dimethyl-1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

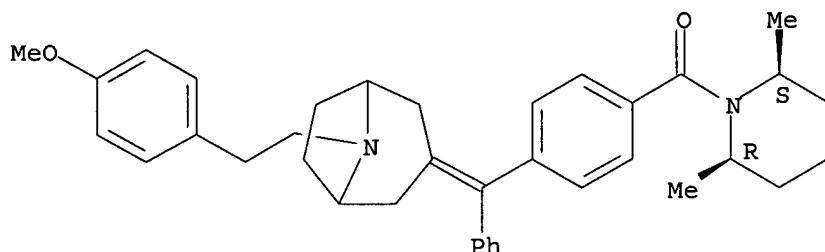
Relative stereochemistry.



RN 359863-52-8 CAPLUS

CN Piperidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]-2,6-dimethyl-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L6 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, alkoxy, alkyl, etc.; n, m = 0-2; R2-4 = H, alkyl, cycloalkyl, etc.; R5-6 = NO₂, alkoxy, Cl, Br, etc.; R7 = alkyl, cycloalkyl, etc.] are prepared For instance, 4-[[3-(anilinocarbonyl)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide (II) was prepared in 7 steps from 4-(bromomethyl)benzoic acid Me ester, N-Boc-4-piperidinone, diethylamine, 3-carboxyphenylboronic acid and aniline. Compds. of the invention have IC₅₀ of 0.36-9.73 nM for the δ -receptor and IC₅₀ of 1600-9000 nM and 86-8700 nM for the κ and μ -receptors resp. I are particularly useful in the management of pain.

AN 2004:857566 CAPLUS

DN 141:332065

TI Preparation of diarylmethylidene piperidine derivatives as δ -receptor ligands for use in the treatment of, e.g., pain

IN Brown, William; Griffin, Andrew Mark

PA Astrazeneca Ab, Swed.

SO PCT Int. Appl., 56 pp.

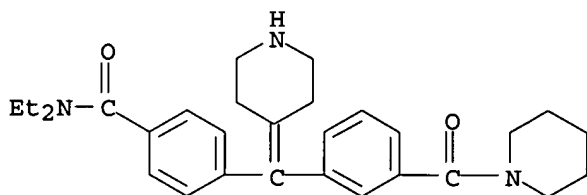
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

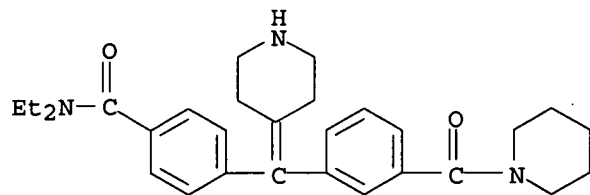
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	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004226011	A1	20041014	SE 2003-987 AU 2004-226011	A 20030403 20040401
	CA 2520493	AA	20041014	SE 2003-987 WO 2004-SE504 CA 2004-2520493	A 20030403 A 20040401 20040401
	EP 1613593	A1	20060111	SE 2003-987 WO 2004-SE504 EP 2004-725226	A 20030403 W 20040401 20040401
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			SE 2003-987 WO 2004-SE504	A 20030403 W 20040401
OS	MARPAT 141:332065				
IT	769930-90-7P 769930-91-8P 769930-92-9P 769930-93-0P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of diarylmethylidene piperidine derivs. as δ -receptor ligands for use in treatment of, e.g., pain)				
RN	769930-90-7 CAPLUS				
CN	Benzamide, N,N-diethyl-4-[[3-(1-piperidinylcarbonyl)phenyl]-4-piperidinylidenemethyl]- (9CI) (CA INDEX NAME)				



RN 769930-91-8 CAPLUS
 CN Benzamide, N,N-diethyl-4-[[3-(1-piperidinylcarbonyl)phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:19) (9CI) (CA INDEX NAME)

CM 1

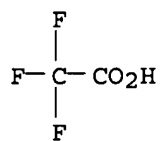
CRN 769930-90-7
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CM 2

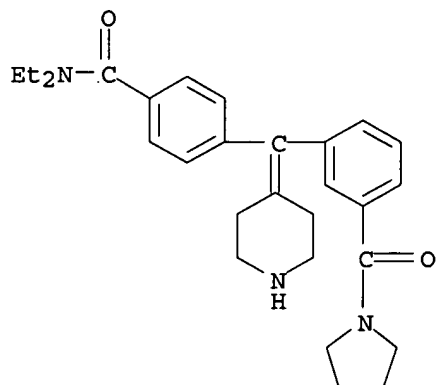
CRN 76-05-1

CMF C2 H F3 O2



RN 769930-92-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-(1-pyrrolidinylcarbonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



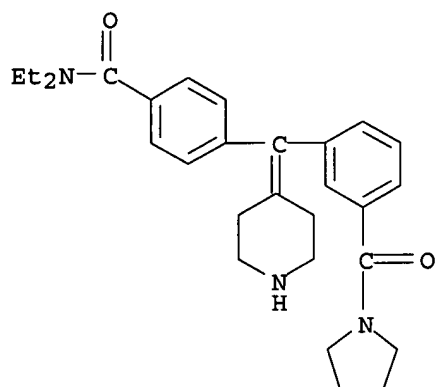
RN 769930-93-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-(1-pyrrolidinylcarbonyl)phenyl]methyl]-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

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CRN 769930-92-9

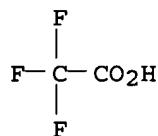
CMF C28 H35 N3 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A series of N,N-dialkyl-4-(9-aryltropanylidene)methyl)benzamides, e.g. I, was prepared. The lead compds., II (R = H) and II (R = allyl), exhibited extremely high affinity for the δ opioid receptor with excellent selectivity vs. the μ opioid receptor. They were full agonists at the δ opioid receptor, as assessed by stimulation of GTP γ S binding, and displayed antinociceptive activity.

AN 2004:303271 CAPLUS

DN 141:54509

TI N,N-Dialkyl-4-[(8-azabicyclo[3.2.1]-oct-3-ylidene)phenylmethyl]benzamides, potent, selective δ opioid agonists

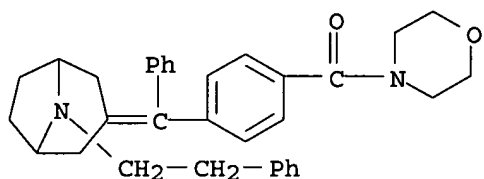
AU Carson, John R.; Coats, Steven J.; Codd, Ellen E.; Dax, Scott L.; Lee, Jung; Martinez, Rebecca P.; Neilson, Lou Anne; Pitlis, Philip M.; Zhang, Sui-Po

CS Drug Discovery, Johnson and Johnson Pharmaceutical Research and Development, LLC, Spring House, PA, 19477-0776, USA

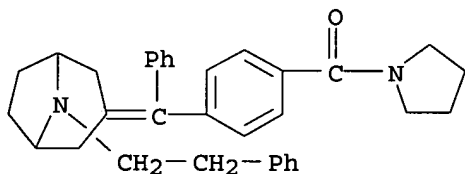
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2109-2112
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal
 LA English
 OS CASREACT 141:54509
 IT **359862-92-3P 359862-95-6P 705279-42-1P**
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (N,N-Dialkyl-4-[(8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]benzamides as potent and selective δ opioid agonists)
 RN 359862-92-3 CAPLUS
 CN Morpholine, 4-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)

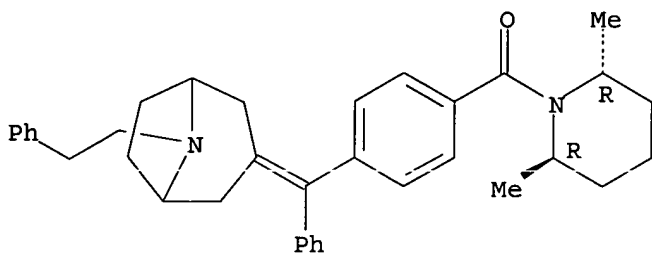


RN 359862-95-6 CAPLUS
 CN Pyrrolidine, 1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)



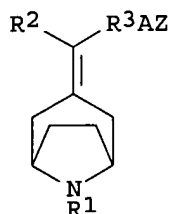
RN 705279-42-1 CAPLUS
 CN Piperidine, 2,6-dimethyl-1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]-, (2R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
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AB Title compds. [I; R1 = H, alkyl, haloalkyl, alkenyl, alkoxyalkenyl, alkynyl, alkoxyalkynyl, cycloalkyl, (substituted) heterocyclyl, heterocyclylalkyl, aryl, aralkyl, etc.; R2, R3 = (substituted) aryl, heteroaryl; A = CX, SO₂; X = O, S; Z = OR₄, NR₅R₆; R₄-R₆ = H, (substituted) alkyl, alkoxyalkyl, alkenyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, etc.], were prepared. Thus, tropinone and N,N-diethyl-4-benzoylbenzamide (preparation given) in THF were added to a prerefluxed mixture of TiCl₄ and Zn in THF followed by 3 h reflux to give N,N-diethyl-4-[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]benzamide hydrochloride. The latter at 150 µmole/kg orally in mice gave 87% inhibition of acetylcholine bromide-induced abdominal constriction. Depending on their agonist or antagonist effect, I are analgesics, immunosuppressants, antiinflammatory agents, neurol. and psychiatric drugs, medicaments for drug and alc. abuse, agents for treating gastritis and diarrhea, cardiovascular agents and agents for the treatment of respiratory diseases.

AN 2001:676766 CAPLUS

DN 135:242144

TI Preparation of 3-(diarylmethylene)-8-azabicyclo[3.2.1]octanes as δ- or µ-opioid receptor modulators.

IN Carson, John R.; Coats, Steven J.; Neilson, Lou Anne; Wu, Wu-Nan; Boyd, Robert E.; Pitis, Philip M.

PA Ortho-McNeil Pharmaceutical, Inc., USA

SO PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001066543	A2	20010913	WO 2001-US5735	20010222
	WO 2001066543	A3	20020314		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	CA 2402039	AA	20010913	US 2000-186778P	P 20000303
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				WO 2001-US5735	W 20010222

BR 2001008965	A	20021126	BR 2001-8965	20010222
			US 2000-186778P	P 20000303
			WO 2001-US5735	W 20010222
EP 1263758	A2	20021211	EP 2001-912949	20010222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			US 2000-186778P	P 20000303
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JP 2003525938	T2	20030902	JP 2001-565359	20010222
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			WO 2001-US5735	W 20010222

PATENT FAMILY INFORMATION:

FAN 2005:15941

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PI	US 2005004163	A1	20050106	US 2004-767712	20040129
				US 2000-186778P	P 20000303
				US 2001-791246	A1 20010222
				US 2003-360859	B2 20030207
	US 2002115662	A1	20020822	US 2001-791246	20010222
	US 6552036	B2	20030422		
				US 2000-186778P	P 20000303
	US 2003181447	A1	20030925	US 2003-360859	20030207
				US 2001-791246	A1 20010222

OS MARPAT 135:242144

IT 359862-92-3P 359862-95-6P 359862-96-7P

359863-17-5P 359863-20-0P 359863-21-1P

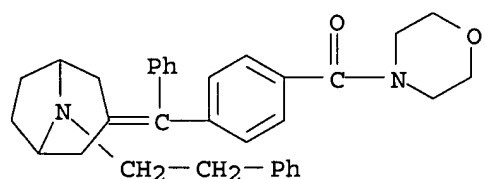
359863-24-4P 359863-44-8P 359863-52-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethyleneazabicyclooctanes as δ - or μ -opioid receptor modulators)

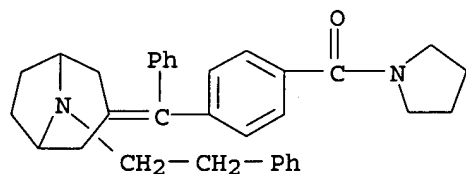
RN 359862-92-3 CAPLUS

CN Morpholine, 4-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)



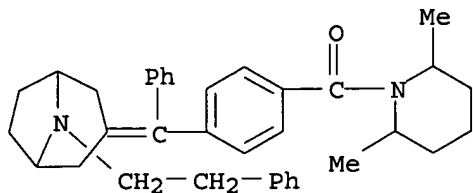
RN 359862-95-6 CAPLUS

CN Pyrrolidine, 1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)



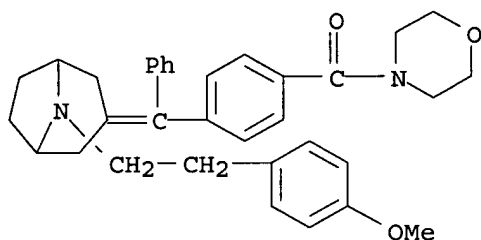
RN 359862-96-7 CAPLUS

CN Piperidine, 2,6-dimethyl-1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)



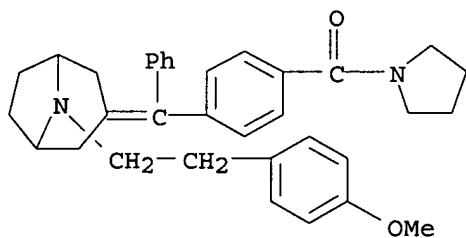
RN 359863-17-5 CAPLUS

CN Morpholine, 4-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]- (9CI) (CA INDEX NAME)



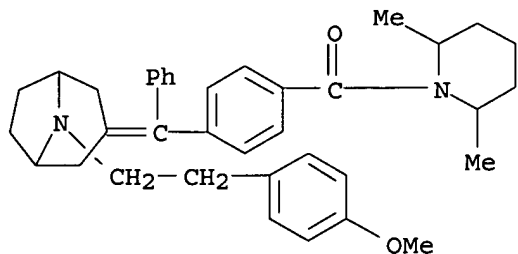
RN 359863-20-0 CAPLUS

CN Pyrrolidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]- (9CI) (CA INDEX NAME)



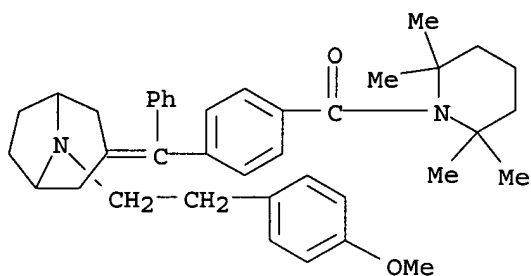
RN 359863-21-1 CAPLUS

CN Piperidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)



RN 359863-24-4 CAPLUS

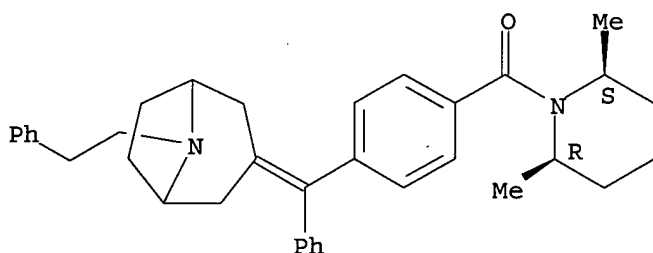
CN Piperidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]-2,2,6,6-tetramethyl- (9CI) (CA INDEX NAME)



RN 359863-44-8 CAPLUS

CN Piperidine, 2,6-dimethyl-1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

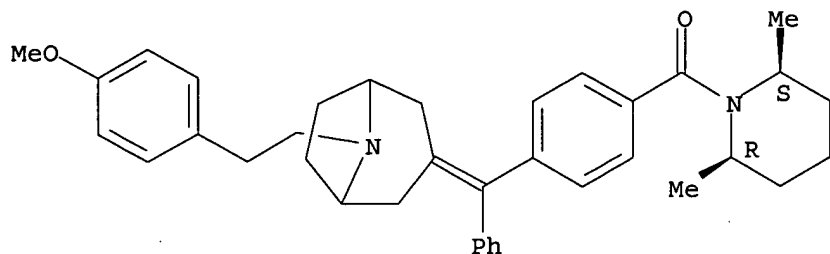
Relative stereochemistry.



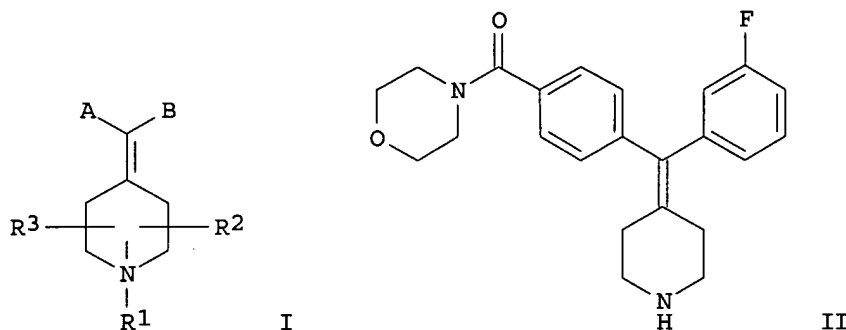
RN 359863-52-8 CAPLUS

CN Piperidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]-2,6-dimethyl-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L6 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI



AB Comps. of general formula [I; R1 = H, linear or branched C1-6 alkyl, C1-6 alkenyl, C3-8 cycloalkyl, C3-6 cycloalkyl-C1-2 alkyl, C6-10 aryl, heteroaryl having 5 to 10 atoms selected from C, S, N, and O, C1-2 alkyl-(un)substituted C6-10 aryl, C1-2 alkyl-(un)substituted heteroaryl having 5 to 10 atoms selected from C, S, N, and O; R2, R3 = H, C1-6 alkyl; A = N and/or benzene-ring 4-carbamoylphenyl, 4-sulfamoylphenyl, acylaminophenyl, or acylphenyl wherein N and/or benzene-ring are optionally substituted; B = (un)substituted aromatic, heteroarom., hydroarom., or heterohydroarom. moieties having 5 to 10 atoms selected from C, S, N, and O atoms] are disclosed and claimed in the present application, as well as their pharmaceutically acceptable salts, pharmaceutical compns. comprising the novel compds., their use in therapy, in particular in the management of pain and in the treatment of gastrointestinal disorders, spinal injuries, disorders of the sympathetic nervous system, and isotopically labeled I as diagnostic agents. The compds. are ligands for opioid receptor, have analgesic effect, and are useful for the treatment of various pain conditions such as chronic pain, acute pain, cancer pain, pain caused by rheumatoid arthritis, migraine, visceral pain, etc. (no data). Thus, tert-Bu 4-[bromo[4-(morpholinocarbonyl)phenyl]methylene]-1-piperidinecarboxylate (preparation given) was coupled with 3-fluorophenylboronic acid in the presence of (PPh3)4Pd and Na2CO3 in aqueous EtOH at 80° for 2 h under N followed by treatment with CF3CO2H and acidification with aqueous HCl to give the title compound (II.HCl).

AN 1998:479508 CAPLUS
DN 129:95406

TI Preparation of 4-[diaryl- or (arylheteroaryl)methylene]piperidine derivatives with analgesic effect

IN Delorme, Daniel; Roberts, Edward; Wei, Zhongyong

PA Astra Pharma Inc., Can.; Astra Aktiebolag (Publ)

SO PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9828275	A1	19980702	WO 1997-SE2050	19971209
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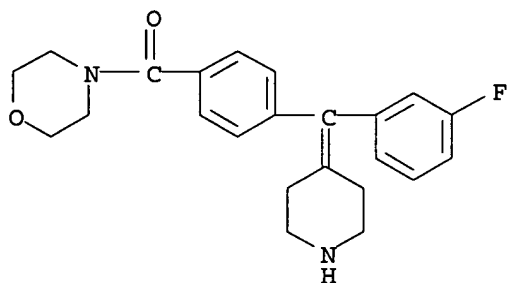
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IT 209807-83-0P 209807-84-1P 209807-85-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of [diaryl- or (arylheteroaryl)methylene]piperidine derivs. with analgesic effect)

RN 209807-83-0 CAPLUS

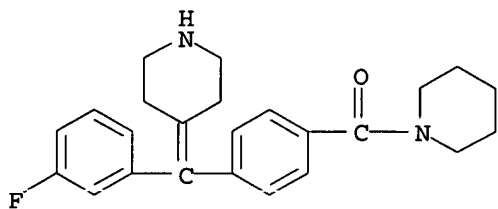
CN Morpholine, 4-[4-[(3-fluorophenyl)-4-piperidinyldenemethyl]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 209807-84-1 CAPLUS

CN Piperidine, 1-[4-[(3-fluorophenyl)-4-piperidinyldenemethyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 209807-85-2 CAPLUS

CN Pyrrolidine, 1-[4-[(3-fluorophenyl)-4-piperidinyldenemethyl]benzoyl]- (9CI) (CA INDEX NAME)

